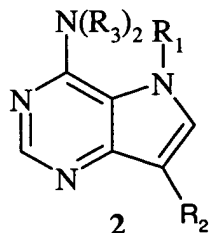


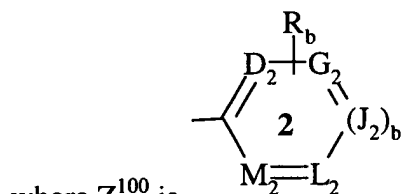
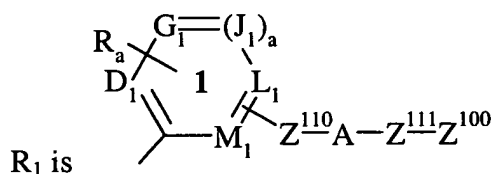
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings of claims in the application:

1. (Currently Amended) A compound of Formula (I), the racemic-diastereomeric mixtures, optical isomers or pharmaceutically-acceptable salts thereof,

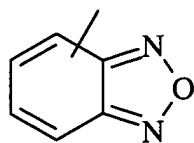
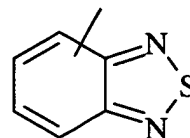


wherein:



where  $Z^{100}$  is or a group optionally substituted with  $R_b$  selected from the group consisting of cycloalkyl, naphthyl, tetrahydronaphthyl,

benzothienyl, furanyl, thienyl, benzoxazolyl, benzothiazolyl,



, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidiny, pyrazolyl, pyrrolyl, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, benzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$  is a covalent bond, or an optionally substituted ( $C_4-C_6$ ) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation, which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen,  $NO_2$ , COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$  is a covalent bond, an optionally substituted ( $C_4-C_6$ ) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation or an optionally substituted  $-(CH_2)_n$ -cycloalkyl- $(CH_2)_n$ -; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen,  $NO_2$ , COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$  and  $R_b$  each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, - $NO_2$ , -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted arylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio,  $-Z^{105}$ - $C(O)N(R)_2$ ,  $-Z^{105}-N(R)-C(O)-Z^{200}$ ,  $-Z^{105}-N(R)-S(O)_2-Z^{200}$ ,  $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$ ,  $R_c$  and  $CH_2OR_c$ ;

where  $R_c$  for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl,  $-CH_2-NR_dR_e$ ,  $-W-(CH_2)_t-NR_dR_e$ ,  $-W-(CH_2)_t-O$ -alkyl,  $-W-(CH_2)_t-S$ -alkyl, or  $-W-(CH_2)_t-OH$ ;

$Z^{105}$  for each occurrence is independently a covalent bond or  $(C_1-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation;

$Z^{200}$  for each occurrence is independently a substituted or unsubstituted  $(C_1-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation, substituted or unsubstituted phenyl or substituted or unsubstituted  $(C_1-C_6)$  (straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-phenyl;

$R_d$  and  $R_e$  for each occurrence are independently H, alkyl, alkanoyl or  $SO_2$ -alkyl; or  $R_d$ ,  $R_e$  and the nitrogen atom to which they are attached together form pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring;  $t$  for each occurrence is independently an integer from 2 to 6;  $W$  for each occurrence is independently a direct bond or O, S,  $S(O)$ ,  $S(O)_2$ , or  $NR_f$ , wherein  $R_f$  for each occurrence is independently H or alkyl;

or  $R_1$  is a substituted or unsubstituted carbocyclic, thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides fused with ring 2;

$R_3$  is hydrogen, hydroxy, substituted or unsubstituted alkyl or substituted or unsubstituted alkoxy;

$A$  is -O-; -S-;  $-S(O)_p$ -; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -N(SO<sub>2</sub>R)-; -CH<sub>2</sub>O-; -CH<sub>2</sub>S-; -CH<sub>2</sub>N(R)-; -CH(NR)-; -CH<sub>2</sub>N(C(O)R)-; -CH<sub>2</sub>N(C(O)OR)-; -CH<sub>2</sub>N(SO<sub>2</sub>R)-; -CH(NHR)-; -CH(NHC(O)R)-; -CH(NHSO<sub>2</sub>R)-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-;

-N(R)S(O)<sub>p</sub>-; -OC(O)N(R)-; ; -N(R)-C(O)-(CH<sub>2</sub>)<sub>n</sub>-N(R)-, -N(R)C(O)O-; -  
N(R)-(CH<sub>2</sub>)<sub>n+1</sub>-C(O)-, -S(O)<sub>p</sub>N(R)-; -O-(CR<sub>2</sub>)<sub>n+1</sub>-C(O)-, -O-(CR<sub>2</sub>)<sub>n+1</sub>-O-,  
-N(C(O)R)S(O)<sub>p</sub>-; -N(R)S(O)<sub>p</sub>N(R)-; -N(R)-C(O)-(CH<sub>2</sub>)<sub>n</sub>-O-, -  
C(O)N(R)C(O)-; -S(O)<sub>p</sub>N(R)C(O)-; -OS(O)<sub>p</sub>N(R)-; -N(R)S(O)<sub>p</sub>O-; -  
N(R)S(O)<sub>p</sub>C(O)-; -SO<sub>p</sub>N(C(O)R)-; -N(R)SO<sub>p</sub>N(R)-; -C(O)O-; -  
N(R)P(OR<sub>g</sub>)O-; -N(R)P(OR<sub>g</sub>)-; -N(R)P(O)(OR<sub>g</sub>)O-; -N(R)P(O)(OR<sub>g</sub>)-;  
-N(C(O)R)P(OR<sub>g</sub>)O-; -N(C(O)R)P(OR<sub>g</sub>)-; -N(C(O)R)P(O)(OR<sub>g</sub>)O-, or  
-N(C(O)R)P(OR<sub>g</sub>)-;

where R for each occurrence is independently H, substituted or  
unsubstituted alkyl, substituted or unsubstituted arylalkyl or  
substituted or unsubstituted aryl;

R<sub>g</sub> for each occurrence is independently H, substituted or  
unsubstituted alkyl, substituted or unsubstituted arylalkyl,  
substituted or unsubstituted cycloalkyl or substituted or  
unsubstituted aryl;

p is 1 or 2;

or in a phosphorus containing group, the nitrogen atom, the  
phosphorus atom, R and R<sub>g</sub> together form a pyridyl, pyrazolyl,  
isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl,  
pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl,  
oxazolyl or tetrazolyl ring; or

A is NRSO<sub>2</sub> and R, R<sub>a</sub> and the nitrogen atom together form a substituted or  
unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or  
unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or  
unsubstituted oxadiazolyl, substituted or unsubstituted furanyl, substituted or  
unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or  
unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or  
unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or  
unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or  
unsubstituted oxazolyl or substituted or unsubstituted tetrazolyl ring fused to ring  
1;

$R_2$  is  $-Z^{101}-Z^{102}$ ;

$Z^{101}$  is a covalent bond,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-O-,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)-,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)O-,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)-NH-,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)-N((C<sub>4</sub>-C<sub>6</sub>) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)- or a substituted or unsubstituted phenyl group;

$Z^{102}$  is hydrogen, a substituted or unsubstituted alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or

unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline substituted or unsubstituted purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides, or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group;

said substituted thienyl, substituted pyridyl, substituted pyrazolyl, substituted isoxazolyl, substituted thiadiazolyl, substituted oxadiazolyl, substituted indazolyl, substituted furanyl, substituted pyrrolyl, substituted imidazolyl, substituted pyrazolyl, substituted triazolyl, substituted pyrimidinyl, substituted pyrazinyl, substituted thiazolyl, substituted or isothiazolyl, substituted oxazolyl, substituted tetrazolyl, substituted benzo[b]thienyl, substituted benzimidazolyl, substituted benzoxazolyl, substituted benzothiazolyl, substituted benzothiadiazolyl, substituted benzodiazolyl, substituted indolyl, substituted tetrahydroindolyl, substituted azaindolyl, substituted indazolyl, substituted quinolinyl, substituted imidazopyridinyl, substituted quinazoline substituted purinyl, substituted pyrrolo[2,3-d]pyrimidinyl, substituted pyrazolo[3,4-d]pyrimidinyl or substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, substituted or unsubstituted alkoxy, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido; substituted or unsubstituted amino, oxo, a saturated, unsaturated or aromatic, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted

benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolynyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides;

wherein said nitrogen atoms are independently optionally substituted by a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; or

R<sub>2</sub> is of the formula B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, hydroxy, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylencarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted azacycloalkyl, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and D<sub>1</sub>, G<sub>1</sub>, J<sub>1</sub>, L<sub>1</sub> and M<sub>1</sub> are each independently selected from the group consisting of CR<sub>a</sub> and N, provided that at least two of D<sub>1</sub>, G<sub>1</sub>, J<sub>1</sub>, L<sub>1</sub> and M<sub>1</sub> are CR<sub>a</sub>; or

a is 0, and one of D<sub>1</sub>, G<sub>1</sub>, L<sub>1</sub> and M<sub>1</sub> is NR<sub>a</sub>, one of D<sub>1</sub>, G<sub>1</sub>, L<sub>1</sub> and M<sub>1</sub> is CR<sub>a</sub> and the remainder are independently selected from the group consisting of CR<sub>a</sub> and N, wherein R<sub>a</sub> is as defined above;

b is 1 and  $D_2$ ,  $G_2$ ,  $J_2$ ,  $L_2$  and  $M_2$  are each independently selected from the group consisting of  $CR_a$  and N, provided that at least two of  $D_2$ ,  $G_2$ ,  $J_2$ ,  $L_2$  and  $M_2$  are  $CR_a$ ; or

b is 0, and one of  $D_2$ ,  $G_2$ ,  $L_2$  and  $M_2$  is  $NR_a$ , one of  $D_2$ ,  $G_2$ ,  $L_2$  and  $M_2$  is  $CR_a$  and the remainder are independently selected from the group consisting of  $CR_a$  and N, wherein  $R_a$  is as defined above; and

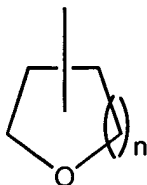
n for each occurrence is independently an integer from 0 to 6;

wherein the substituents for  $R_a$ ,  $R_b$ ,  $R_c$ ,  $Z^{200}$ ,  $R_3$ ,  $R_1$ ,  $Z^{101}$ ,  $Z^{102}$ , B and E, are independently selected from the group consisting of alkyl,  $CF_3$ , alkoxy,  $OCF_3$ , halogen, hydroxyl, nitro, oxo, CN, COH, COOH, amino, N-alkylamino or N,N-dialkylamino, esters aryl, aryalkyl, alkyl-O-C(O), alkoxyalkyl, heterocycloalkyl, optionally substituted phenyl, nitro and optionally substituted amino.

2. (Original) The compound of Claim 1 wherein  $R_3$  is H;  $R_1$  for each occurrence is independently selected from the group consisting of F, Cl, Br, I,  $CH_3$ ,  $NO_2$ ,  $OCF_3$ ,  $OCH_3$ , CN,  $CO_2CH_3$ ,  $CF_3$ ,  $-CH_2NR_dR_e$ , t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.

3. (Original) The compound of Claim 1 wherein  $R_3$  is H;  $R_a$  for each occurrence is independently selected from the group consisting of F, Cl, Br, I,  $CH_3$ ,  $NO_2$ ,  $OCF_3$ ,  $OCH_3$ , CN,  $CO_2CH_3$ ,  $CF_3$ , t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.

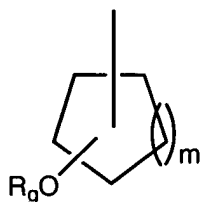
4. (Original) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula





wherein n is 1, 2 or 3.

5. (Previously Presented) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



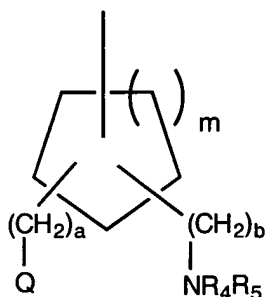
wherein m is 0, 1, 2 or 3 and

$R_g$  is H or  $-(CH_2)_pN(R_4)R_5$ , wherein p is an integer from 2 to 6 and  $R_4$  and

$R_5$  are each, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of  $-C(O)-$ ,  $-(CH_2)_q-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $-(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ , and  $-(CH_2)_qS(O)_r-$ ; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkoxy, amino, aryl, heteroaryl and thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides alkyl group or  $R_4$ ,  $R_5$  and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl,

substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

6. (Previously Presented) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



wherein m is 0, 1, 2 or 3

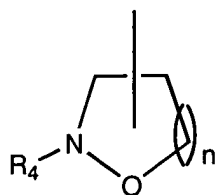
a and b are each, independently, an integer from 0 to 6;

Q is  $-OR_6$  or  $-NR_4R_5$ ;

each  $R_4$  and  $R_5$  is, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of  $-C(O)-$ ,  $-(CH_2)_q-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ , and  $-(CH_2)_qS(O)_r-$ ; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, amino, aryl, heteroaryl or thienyl alkyl,

pyridylalkyl, pyrazolylalkyl, isoxazolylalkyl, thiadiazolylalkyl, oxadiazolylalkyl, indazolylalkyl, furanylalkyl, pyrrolylalkyl, imidazolylalkyl, pyrazolylalkyl, triazolylalkyl, pyrimidinylalkyl, pyrazinylalkyl, thiazolylalkyl, isothiazolylalkyl, oxazolylalkyl, tetrazolylalkyl, benzo[b]thienylalkyl, benzimidazolylalkyl, benzoxazolylalkyl, benzothiazolylalkyl, benzothiadiazolylalkyl, benzodiazolylalkyl, indolylalkyl, tetrahydroindolylalkyl, azaindolylalkyl, indazolylalkyl, quinolinylalkyl, imidazopyridinylalkyl, quinazoline purinylalkyl, pyrrolo[2,3-d]pyrimidinylalkyl or pyrazolo[3,4-d]pyrimidinylalkyl group or R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides or substituted or unsubstituted heterobicyclic group; and R<sub>6</sub> is hydrogen or a substituted or unsubstituted alkyl group.

7. (Previously Presented) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula



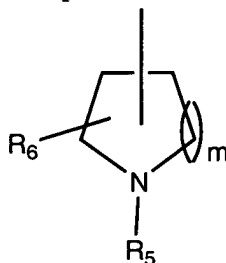
wherein n is 1, 2 or 3; and

R<sub>4</sub> is H, azabicycloalkyl or Y-Z, wherein Y is selected

from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-,  
-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein q is an  
integer 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted  
alkyl, substituted or unsubstituted amino, aryl, substituted or unsubstituted  
heteroaryl or substituted or unsubstituted thienylalkyl, substituted or  
unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl,  
substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted  
thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted  
or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl,  
substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted  
imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or  
unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl,  
substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted  
thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or  
unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl,  
benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl,  
substituted or unsubstituted benzoxazolylalkyl, substituted or  
unsubstituted benzothiazolylalkyl, substituted or unsubstituted  
benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl,  
substituted or unsubstituted indolylalkyl, substituted or unsubstituted  
tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl,  
substituted or unsubstituted indazolylalkyl, substituted or unsubstituted  
quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl,

substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group.

8. (Previously Presented) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



wherein

$m$  is 0, 1, 2 or 3;

$R_5$  is H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of a covalent bond,  $-C(O)-$ ,  $-(CH_2)_q-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $-(CH_2)_qO-$ ,

$-(CH_2)_qNH-$ ,  $-(CH_2)_qC(O)-$ ,  $-C(O)(CH_2)_q-$  and  $-(CH_2)_qS(O)_r-$ , where the alkyl portion of  $-(CH_2)_q-$ ,  $-(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ ,  $-(CH_2)_qC(O)-$ ,  $-C(O)(CH_2)_q-$  and  $-(CH_2)_qS(O)_r$  is optionally substituted by a halogen, hydroxy or an alkyl group;

wherein  $q$  is an integer from 0 to 6; and  $r$  is 0, 1 or 2; and Z is a substituted or

unsubstituted alkyl, substituted or unsubstituted amino, substituted or

unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or

unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or

unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted

or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl,

substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted

indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or

unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl,

substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted

triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or

unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl,

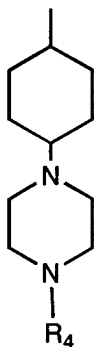
substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolyl alkyl, substituted or unsubstituted quinolinyl alkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group;

or Y and Z together are a natural or unnatural amino acid, which may be mono- or di-alkylated at the amine nitrogen; and

R<sub>6</sub> represents one or more substituents each independently selected from the group consisting of hydrogen, hydroxy, oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or

unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolynyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heterocyclylcarbonyl, substituted or unsubstituted aminoalkyl and substituted or unsubstituted arylalkyl; provided that the carbon atoms adjacent to the nitrogen atom are not substituted by a hydroxy group.

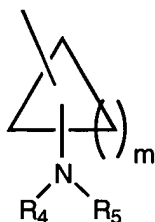
9. (Previously Presented) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



wherein  $R_4$  is H, substituted or unsubstituted alkyl, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-,  $-(CH_2)_q-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $-(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ , and  $-(CH_2)_qS(O)_r-$ ; wherein q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted

pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

10. (Previously Presented) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



wherein

$m$  is an integer from 1 to 6; and

$R_4$  and  $R_5$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of  $-C(O)-$ ,  $-(CH_2)_q-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $-(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ , and  $-(CH_2)_qS(O)_r-$ ; wherein  $q$  is an integer from 0 to 6; and  $r$  is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or

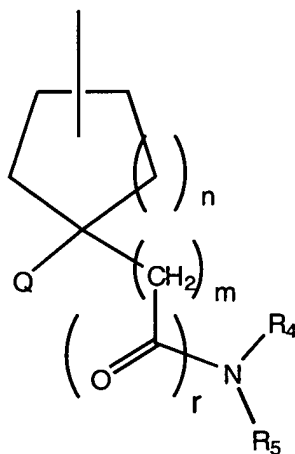


unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl,

substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinoliny, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

11. (Previously Presented) The compound of Claim 1 wherein  $R_3$  is H;  $R_2$  is of the formula



wherein

$n$  is an integer from 0 to 4;

$r$  is 0 and  $m$  is an integer from 1 to 6; or

$r$  is 1 and  $m$  is an integer from 0 to 6;

$Q$  is  $-OR_6$  or  $-NR_4R_5$ ;

each  $R_4$  and  $R_5$  is, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of  $-C(O)-$ ,  $-(CH_2)_q-$ ,  $-S(O)_2-$ ,  $-C(O)O-$ ,  $-SO_2NH-$ ,  $-CONH-$ ,  $-(CH_2)_qO-$ ,  $-(CH_2)_qNH-$ , and  $-(CH_2)_qS(O)_r-$ ;  $q$  is an integer from 0 to 6; and  $r$  is 0, 1 or 2; and Z is a substituted or

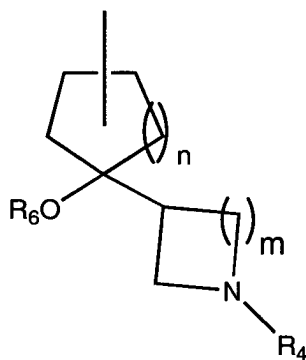
unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl,

substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group; and

R<sub>6</sub> is hydrogen or a substituted or unsubstituted alkyl group.

12. (Previously Presented) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula



n is an integer from 0 to 4;

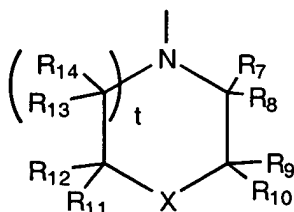
m is an integer from 0 to 6;

R<sub>4</sub> is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl,

substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyllalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; and

R<sub>6</sub> is hydrogen or a substituted or unsubstituted alkyl group.

13. (Previously Presented) The compound of Claim 10 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocyclic group of the formula



wherein

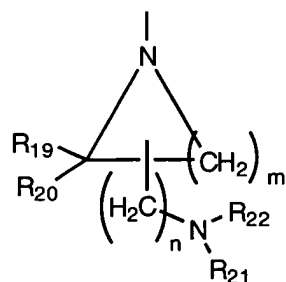
R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub> and R<sub>14</sub> are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R<sub>7</sub> and R<sub>8</sub>; R<sub>9</sub> and R<sub>10</sub>; R<sub>11</sub> and R<sub>12</sub>; or R<sub>13</sub> and R<sub>14</sub> together are an oxygen atom; or at least one of R<sub>7</sub> and R<sub>9</sub> is cyano, CONHR<sub>15</sub>, COOR<sub>15</sub>, CH<sub>2</sub>OR<sub>15</sub> or CH<sub>2</sub>NR<sub>15</sub>(R<sub>16</sub>), wherein R<sub>15</sub> and R<sub>16</sub> are each, independently, H, azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-

d]pyrimidinylalkyl; or  $R_{15}$ ,  $R_{16}$  and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinoliny, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or a substituted or unsubstituted heterobicyclic group;

X is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein  $R_{17}$  is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH<sub>2</sub>, -C(O)R<sub>17</sub>, or -C(O)OR<sub>18</sub>, wherein  $R_{18}$  is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

14. (Previously Presented) The compound of Claim 10 wherein  $R_4$ ,  $R_5$  and the nitrogen atom together form a heterocycle of the formula



wherein

$R_{19}$  and  $R_{20}$  are each, independently, hydrogen or lower alkyl; or  $R_{19}$  and  $R_{20}$  together are an oxygen atom;

$R_{21}$  and  $R_{22}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted



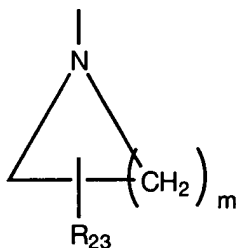
benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R<sub>21</sub>, R<sub>22</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group;

m is an integer from 1 to 6; and

n is an integer from 0 to 6.

15. (Original) The compound of Claim 10 wherein R<sub>4</sub>, R<sub>5</sub> and the nitrogen atom together form a heterocyclic group of the formula

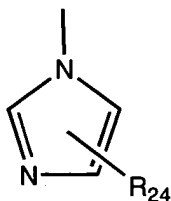


wherein

$m$  is an integer from 1 to 6; and

$R_{23}$  is  $\text{CH}_2\text{OH}$ ,  $\text{NRR}'$ ,  $\text{C(O)NRR}'$  or  $\text{COOR}$ , wherein  $R$  and  $R'$  are each, independently, hydrogen or substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl.

16. (Previously Presented) The compound of Claim 10 wherein  $R_4$ ,  $R_5$  and the nitrogen atom together form a heterocyclic group of the formula



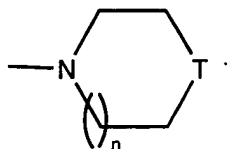
wherein  $R_{24}$  is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano,  $\text{C(O)OR}_{25}$ ,  $\text{CH}_2\text{OR}_{25}$ ,  $\text{CH}_2\text{NR}_{26}\text{R}_{27}$  or  $\text{C(O)NHR}_{26}$ , wherein  $R_{25}$  is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl; and  $R_{26}$  and  $R_{27}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected

from the group consisting of  $-\text{C(O)}-$ ,  $-(\text{CH}_2)_p-$ ,  $-\text{S(O)}_2-$ ,  $-\text{C(O)O}-$ ,  $-\text{SO}_2\text{NH}-$ ,  $-\text{CONH}-$ ,  $(\text{CH}_2)_q\text{O}-$ ,  $-(\text{CH}_2)_q\text{NH}-$ , and  $-(\text{CH}_2)_q\text{S(O)}_r-$ ; wherein  $p$  is an integer from 0 to 6,  $q$  is an integer from 0 to 6, and  $r$  is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or

unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyl alkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R<sub>26</sub>, R<sub>27</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or

unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

17. (Original) The compound of Claim 10 wherein at least one of  $R_4$  and  $R_5$  is of the formula Y-Z, wherein Z is of the formula

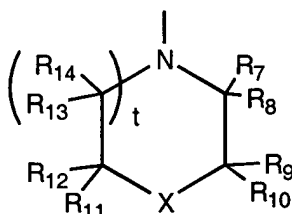


wherein

T is C(O), S, SO, SO<sub>2</sub>, CHOR or NR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; and  
n is 0, 1 or 2.

18. (Previously Presented) The compound of Claim 10 wherein at least one of  $R_4$  and  $R_5$  is of the formula Y-Z, wherein Z is of the formula -N( $R_{28}$ ) $R_{29}$ , wherein  $R_{28}$  and  $R_{29}$  are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxycarbonylalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or  
 $R_{28}$  and  $R_{29}$ , together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, or substituted or unsubstituted tetrazolyl group.

19. (Previously Presented) The compound of Claim 11 wherein  $R_4$ ,  $R_5$  and the nitrogen atom together form a heterocycle of the formula



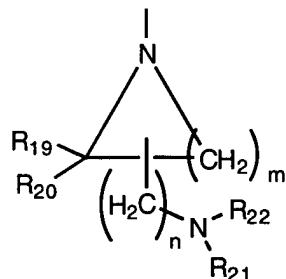
wherein

$R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$ ,  $R_{12}$ ,  $R_{13}$  and  $R_{14}$  are each, independently, lower alkyl or hydrogen; or at least one pair of substituents  $R_7$  and  $R_8$ ;  $R_9$  and  $R_{10}$ ;  $R_{11}$  and  $R_{12}$ ; or  $R_{13}$  and  $R_{14}$  together are an oxygen atom; or at least one of  $R_7$  and  $R_9$  is cyano,  $\text{CONHR}_{15}$ ,  $\text{COOR}_{15}$ ,  $\text{CH}_2\text{OR}_{15}$  or  $\text{CH}_2\text{NR}_{15}(\text{R}_{16})$ , wherein  $R_{15}$  and  $R_{16}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of  $-\text{C}(\text{O})-$ ,  $-(\text{CH}_2)_p-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{SO}_2\text{NH}-$ ,  $-\text{CONH}-$ ,  $(\text{CH}_2)_q\text{O}-$ ,  $-(\text{CH}_2)_q\text{NH}-$ , and  $-(\text{CH}_2)_q\text{S}(\text{O})_r-$ ; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or

unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R<sub>15</sub>, R<sub>16</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or heterobicyclic group; X is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH<sub>2</sub>, -C(O)R<sub>18</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

20. (Previously Presented) The compound of Claim 11 wherein  $R_4$ ,  $R_5$  and the nitrogen atom together form a heterocycle of the formula



wherein

$R_{19}$  and  $R_{20}$  are each, independently, hydrogen or lower alkyl; or  $R_{19}$  and  $R_{20}$  together are an oxygen atom;

$R_{21}$  and  $R_{22}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted

or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

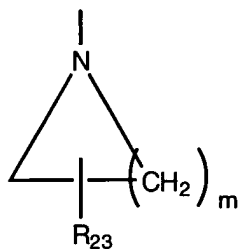
R<sub>21</sub>, R<sub>22</sub> and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinoliny, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group;

m is an integer from 1 to 6; and

n is an integer from 0 to 6.



21. (Original) The compound of Claim 11 wherein  $R_4$ ,  $R_5$  and the nitrogen atom together form a heterocyclic group of the formula

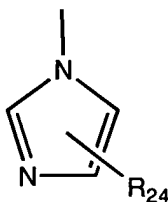


wherein

$m$  is an integer from 1 to 6; and

$R_{23}$  is  $\text{CH}_2\text{OH}$ ,  $\text{NRR}'$ ,  $\text{C(O)NRR}'$  or  $\text{COOR}$ , wherein  $R$  is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group.

22. (Previously Presented) The compound of Claim 11 wherein  $R_4$ ,  $R_5$  and the nitrogen atom together form a heterocyclic group of the formula

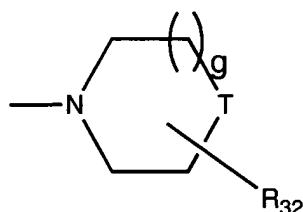


wherein  $R_{24}$  is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano,  $\text{C(O)OR}_{25}$ ,  $\text{CH}_2\text{OR}_{25}$ ,  $\text{CH}_2\text{NR}_{26}\text{R}_{27}$  or  $\text{C(O)NHR}_{26}$ , wherein  $R_{25}$  is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl group; and  $R_{26}$  and  $R_{27}$  are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of  $-\text{C(O)}-$ ,  $-(\text{CH}_2)_p-$ ,  $-\text{S(O)}_2-$ ,  $-\text{C(O)O}-$ ,  $-\text{SO}_2\text{NH}-$ ,  $-\text{CONH}-$ ,  $(\text{CH}_2)_q\text{O}-$ ,  $-(\text{CH}_2)_q\text{NH}-$ , and  $(\text{CH}_2)_q\text{S(O)}_r-$ ; wherein  $p$  is an integer from 0 to 6,  $q$  is an integer from 0 to 6, and  $r$  is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl,

substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or  $R_{26}$ ,  $R_{27}$  and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl,

substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinoliny, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

23. (Original) The compound of Claim 11 wherein at least one of  $R_4$  and  $R_5$  is of the formula Y-Z, wherein Z is of the formula



wherein

$g$  is 0 or 1;

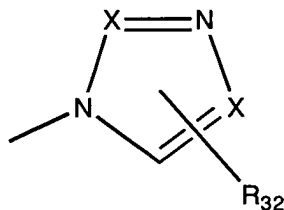
$T$  is  $C(O)$ ,  $O$ ,  $S$ ,  $SO$ ,  $SO_2$ ,  $CH_2$ ,  $CHOR_{17}$  or  $NR_{17}$ , wherein  $R_{17}$  is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl,  $-C(NH)NH_2$ ,  $-C(O)R_{18}$ , or  $-C(O)OR_{18}$ , wherein  $R_{18}$  is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

$R_{32}$  is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

24. (Previously Presented) The compound of Claim 11 wherein at least one of  $R_4$  and  $R_5$  is of the formula Y-Z, wherein Z is of the formula  $-N(R_{28})R_{29}$ , wherein  $R_{28}$  and  $R_{29}$  are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxy carbonylalkyl, substituted or unsubstituted hydroxyalkyl,

substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or  $R_{28}$  and  $R_{29}$ , together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl or substituted or unsubstituted tetrazolyl group.

25. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula  $N(R_{30})R_{31}$ , wherein  $R_{30}$  and  $R_{31}$  are each, independently, hydrogen, alkyl, alkoxy carbonyl, alkoxyalkyl, hydroxyalkyl, aminocarbonyl, cyano, alkylcarbonyl or arylalkyl.
26. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula

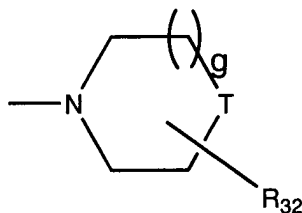


wherein

each X is, independently, CH or N; and

$R_{32}$  is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

27. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula



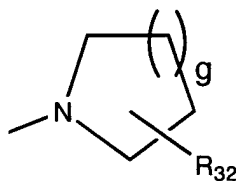
wherein

$g$  is 0 or 1;

$T$  is O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, C(O)NH<sub>2</sub>, -C(NH)NH<sub>2</sub>, -C(O)R<sub>17</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

28. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula



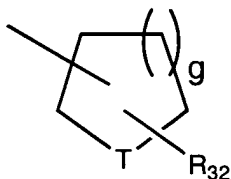
wherein

$g$  is 0, 1 or 2; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted

aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

- 29 (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula

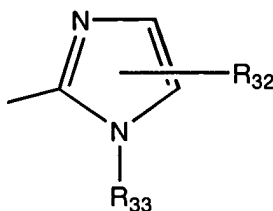


wherein

T is C(O), O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHOR<sub>17</sub> or NR<sub>17</sub>, wherein R<sub>17</sub> is hydrogen, substituted or unsubstituted alkyl, aryl, arylalkyl, -C(NH)NH<sub>2</sub>, -C(O)R<sub>18</sub>, or -C(O)OR<sub>18</sub>, wherein R<sub>18</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl;  
g is 0 or 1; and

R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

30. (Original) The compound of Claim 8 wherein  $R_5$  is Y-Z, wherein Z is of the formula



wherein

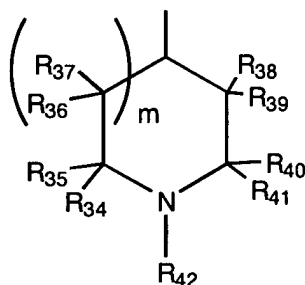
R<sub>32</sub> is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, alkylcarbonyl, substituted or unsubstituted thioalkoxy or substituted or unsubstituted arylalkyl; and

R<sub>33</sub> is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted aminocarbonyl, perhaloalkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

31. (Previously Presented) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula



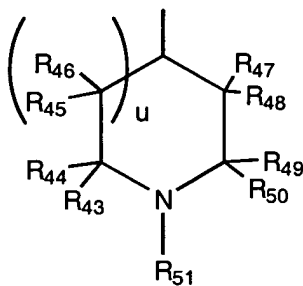
wherein

m is 0 or 1;

R<sub>34</sub>, R<sub>35</sub>, R<sub>36</sub>, R<sub>37</sub>, R<sub>38</sub>, R<sub>39</sub>, R<sub>40</sub> and R<sub>41</sub> are each, independently, methyl or hydrogen; or at least one pair of substituents R<sub>34</sub> and R<sub>35</sub>; R<sub>36</sub> and R<sub>37</sub>; R<sub>38</sub> and R<sub>39</sub>; or R<sub>40</sub> and R<sub>41</sub> together are an oxygen atom; and

R<sub>42</sub> is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or

unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or  
 $R_{42}$  is of the formula



wherein

$u$  is 0 or 1;

$R_{43}$ ,  $R_{44}$ ,  $R_{45}$ ,  $R_{46}$ ,  $R_{47}$ ,  $R_{48}$ ,  $R_{49}$  and  $R_{50}$  are each, independently, methyl or hydrogen;

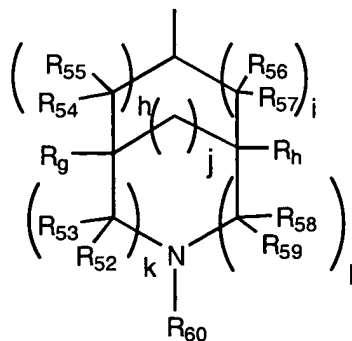
or at least one pair of substituents  $R_{43}$  and  $R_{44}$ ;  $R_{45}$  and  $R_{46}$ ;  $R_{47}$  and  $R_{48}$ ;

or  $R_{49}$  and  $R_{50}$  together are an oxygen atom; and



R<sub>51</sub> is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

32. (Previously Presented) The compound of Claim 1 wherein R<sub>3</sub> is H; R<sub>2</sub> is of the formula



wherein

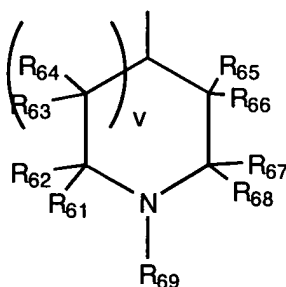
h, i, j, k and l are independently 0 or 1;

R<sub>52</sub>, R<sub>53</sub>, R<sub>54</sub>, R<sub>55</sub>, R<sub>56</sub>, R<sub>57</sub>, R<sub>58</sub>, R<sub>59</sub>, R<sub>g</sub> and R<sub>h</sub> are each, independently, methyl or hydrogen; or at least one pair of substituents R<sub>52</sub> and R<sub>53</sub>; R<sub>54</sub> and R<sub>55</sub>; R<sub>56</sub> and R<sub>57</sub>; or R<sub>58</sub> and R<sub>59</sub> together are an oxygen atom; and

R<sub>60</sub> is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolyl alkyl, substituted or unsubstituted pyrimidinyl alkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted

tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or

R<sub>60</sub> is of the formula



wherein

v is 0 or 1;

R<sub>61</sub>, R<sub>62</sub>, R<sub>63</sub>, R<sub>64</sub>, R<sub>65</sub>, R<sub>66</sub>, R<sub>67</sub> and R<sub>68</sub> are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R<sub>61</sub> and R<sub>62</sub>; R<sub>63</sub> and R<sub>64</sub>; R<sub>65</sub> and R<sub>66</sub>; and R<sub>67</sub> and R<sub>68</sub> together are an oxygen atom; and

R<sub>69</sub> is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH<sub>2</sub>)<sub>p</sub>-, -S(O)<sub>2</sub>-, -C(O)O-, -SO<sub>2</sub>NH-, -CONH-, (CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>NH-, and -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>r</sub>-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted

oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

33-51 (Cancelled)

52. (Currently Amended) A compound according to Claim 1, wherein  $R_3$  is H;  $R_2$  is  $-Z^{101}-Z^{102}$  where  $Z^{101}$  is a covalent bond,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation -,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-O-,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-,  $(C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contain one or more units of unsaturation)-C(O)O-,  $(C_4-C_6)$  straight chained, branched

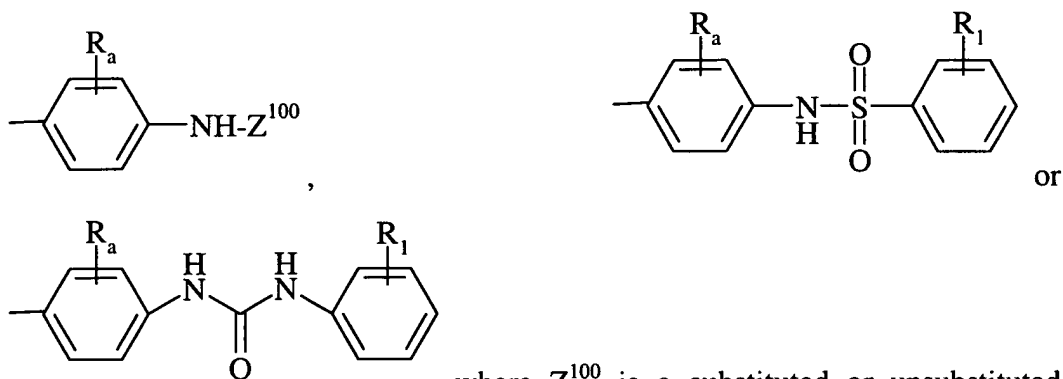
or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-NH-, -((C<sub>1</sub>-C<sub>6</sub>) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-N(((C<sub>1</sub>-C<sub>6</sub>) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)))- or a substituted phenyl group; and

Z<sup>102</sup> is hydrogen, a substituted or unsubstituted alkyl group or a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

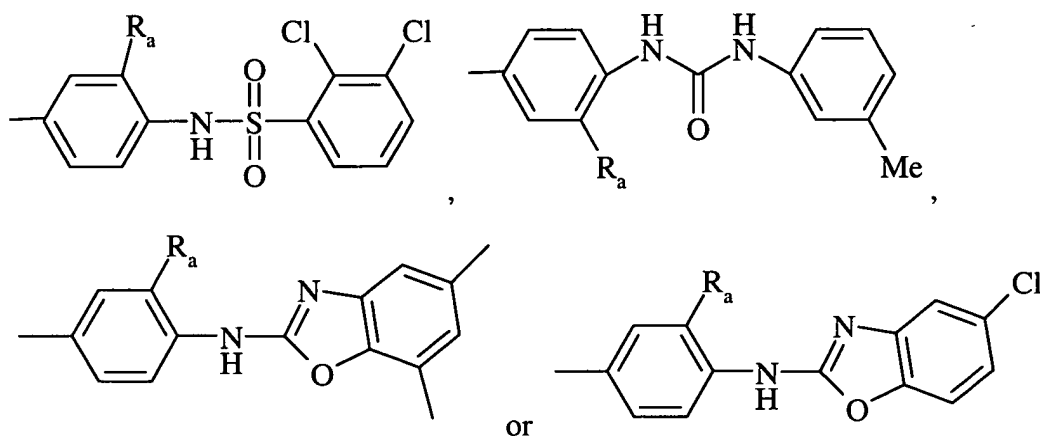
53. (Original) A compound according to Claim 52, wherein Z<sup>101</sup> is selected from the group consisting of -CH<sub>2</sub>-C(O)O-, -CH<sub>2</sub>-C(O)-, -CH<sub>2</sub>-C(O)-NH-, -CH<sub>2</sub>-C(O)-N(Me)-, -CH(Me)-C(O)O-, -(CH<sub>2</sub>)<sub>3</sub>-C(O)O-, -CH(Me)-C(O)-NH-, and -(CH<sub>2</sub>)<sub>3</sub>-C(O)-NH-;

$Z^{102}$  is selected from the group consisting of hydrogen, methyl, ethyl, N,N-dimethylaminoethyl, N,N-diethylaminoethyl, 2-phenyl-2-hydroxyethyl, morpholino, piperazinyl, N-methylpiperazinyl and 2-hydroxymethylpyrrolidinyl.

54. (Original) A compound according to Claim 53, wherein  $R_1$  is

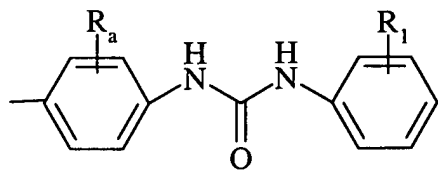


55. (Original) A compound according to Claim 8, 9, 10 or 53, wherein  $R_1$  is

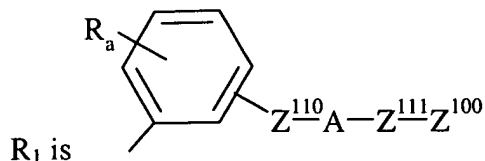


56. (Original) A compound according to Claim 52, wherein  $Z^{101}$  is a covalent bond; and  $Z^{102}$  is an optionally substituted pyridyl.

57. (Original) A compound according to Claim 56, wherein  $R_1$  is



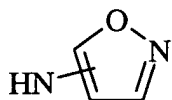
58. (Original) A compound according to Claim 1, wherein  $R_3$  is H;  $R_2$  is cyclopentyl; and



59. (Original) A compound according to Claim 58, wherein  $Z^{110}$  is hydrogen;  
 A is O; and  $Z^{100}$  is optionally substituted phenyl, furanyl or thienyl, where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, COOH, NO<sub>2</sub>, OMe, -COOMe, OCF<sub>3</sub> and CF<sub>3</sub>.
60. (Original) A compound according to Claim 58, wherein  $Z^{110}$  is hydrogen;  
 A is -O-, -O-(CR<sub>2</sub>)<sub>n</sub>-C(O)- or -O-(CR<sub>2</sub>)<sub>n</sub>-O-;  
 n for each occurrence is 0 to 3;  
 $Z^{100}$  is an optionally substituted group selected from the group consisting of cyclohexyl, phenyl, tetrahydropyranyl, tetrahydrofuranyl, isoxazolyl and piperidinyl; where  $Z^{100}$  is optionally substituted with one or more substituents selected from the group consisting of alkyl, alkoxy, halo, hydroxy and alkoxycarbonyl.
61. (Original) A compound according to Claim 58, wherein R<sup>2</sup> is an optionally substituted group selected from the group consisting of cyclobutyl and cyclohexyl.
62. (Original) A compound according to Claim 61, wherein R<sup>2</sup> is optionally substituted with one or more substituents selected from the group consisting of hydroxy, alkyl, hydroxyalkyl, carboxyalkyl and phenylalkoxyalkyl.
63. (Original) A compound according to Claim 62, wherein R<sub>1</sub> is 4-phenoxyphenyl.
64. (Original) A compound according to Claim 6 wherein  
 m is 2; a is 0; R<sub>6</sub> is H; b is 1 or 2; and R<sub>4</sub> and R<sub>5</sub> are each hydrogen.
65. (Previously Presented) A compound according to Claim 8, wherein  
 m is 0, 1 or 2; R<sub>6</sub> is hydrogen; R<sub>5</sub> is H or Y-Z;  
 where Y is a covalent bond, -C(O)-, -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>q</sub>-, -(CH<sub>2</sub>)<sub>q</sub>C(O)- or -C(O)(CH<sub>2</sub>)<sub>q</sub>-, where the alkyl portion of -(CH<sub>2</sub>)<sub>q</sub>O-, -(CH<sub>2</sub>)<sub>p</sub>-, -(CH<sub>2</sub>)<sub>q</sub>C(O)- and -C(O)(CH<sub>2</sub>)<sub>q</sub>- is optionally substituted by a halogen, hydroxy or an alkyl group;  
 and

Z is hydrogen, alkyl, optionally substituted alkyl, alkoxyalkyl, optionally substituted thienylalkyl, optionally substituted pyridylalkyl, optionally substituted pyrazolylalkyl, optionally substituted isoxazolylalkyl, optionally substituted thiadiazolylalkyl, optionally substituted oxadiazolylalkyl, optionally substituted indazolylalkyl, optionally substituted furanylalkyl, optionally substituted pyrrolylalkyl, optionally substituted imidazolylalkyl, optionally substituted pyrazolylalkyl, optionally substituted triazolylalkyl, optionally substituted pyrimidinylalkyl, optionally substituted pyrazinylalkyl, optionally substituted thiazolylalkyl, optionally substituted isothiazolylalkyl, optionally substituted oxazolylalkyl, optionally substituted tetrazolylalkyl, optionally substituted benzo[b]thienylalkyl, optionally substituted benzimidazolylalkyl, optionally substituted benzoxazolylalkyl, optionally substituted benzothiazolylalkyl, optionally substituted benzothiadiazolylalkyl, optionally substituted benzodiazolylalkyl, optionally substituted indolylalkyl, optionally substituted tetrahydroindolylalkyl, optionally substituted azaindolylalkyl, optionally substituted indazolylalkyl, optionally substituted quinolylalkyl, optionally substituted imidazopyridinylalkyl, optionally substituted quinazoline purinylalkyl, optionally substituted pyrrolo[2,3-d]pyrimidinylalkyl, optionally substituted pyrazolo[3,4-d]pyrimidinylalkyl, optionally substituted heteroaryl, or optionally substituted amino.

66. (Original) A compound according to Claim 65, wherein  
Z is hydrogen, methyl, ethyl, hydroxymethyl, methoxyethyl, N-methyl-piperidinyl, (t-butoxycarbonyl)(hydroxy)-piperidinyl, hydroxypiperidinyl, (hydroxymethyl)piperidinyl, (hydroxy)(methyl)-piperidinyl, morpholino, (methoxyethyl)piperizinyl, methylpiperizinyl, 4-piperidinylpiperidinyl, imidazolyl, methylimidazolyl, N-methylamino, N,N-dimethylamino, N-isopropylamino, N,N-diethylamino, 2,3-dihydroxypropylamino, 2-hydroxyethylamino, 3-hydroxypropylamino, methoxyethylamino, ethoxycarbonylmethylamino, phenylmethylamino, N-methyl-N-methoxyamino,

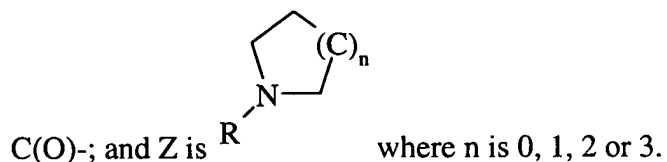


, furanylmethylamino, piperidinyethylamino, N-(2-N,N-

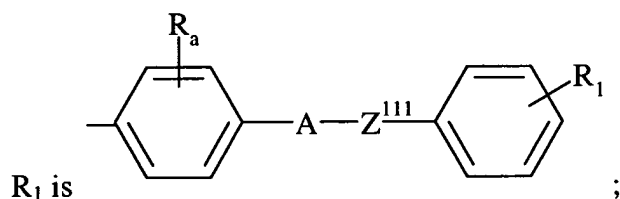


dimethylaminoethyl)-N-methylamino, 2-N,N-dimethylaminoethylamino, N-methyl-N-(N-methylpiperidin-4-yl)amino, 2-morpholino-ethylamino, 3-morpholino-propylamino, 3-imidazolylpropylamino, or 3-(2-oxopyrrolidinyl)propylamino.

67. (Original) A compound according to Claim 8, wherein m is 2; R<sub>5</sub> is Y-Z; Y is -



68. (Original) A compound according to Claim 9, wherein  
 R<sub>4</sub> is hydrogen or methyl;



A is selected from the group consisting of O, -N(R)- and -N(R)C(O)-;

Z<sup>111</sup> is -(CH<sub>2</sub>)<sub>n</sub>-cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-;

R is hydrogen or alkyl;

n is 0 to 5;

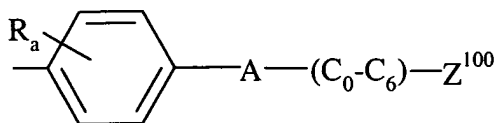
R<sub>a</sub> is one or more substituents each independently selected from the group consisting of H, OH, F, Cl, methyl and methoxy; and

R<sub>b</sub> is one or more substituents each independently selected from the group consisting of H, CN, F, CF<sub>3</sub>, OCF<sub>3</sub>, methyl, methoxy and an optionally substituted amino group;

where said amino group is optionally substituted with one or two groups each independently selected from the group consisting of alkyl, alkoxyalkyl, phenyl, substituted phenyl, and optionally substituted heteroaryl.

69. (Original) A compound according to Claim 68, wherein R<sub>b</sub> is 4-methylphenylthio or 2-pyridinylthio.

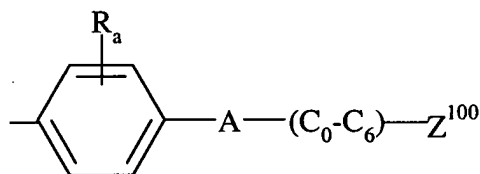
70. (Original) A compound according to Claim 9, wherein



$R_1$  is

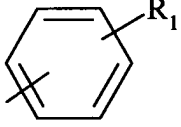
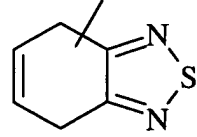
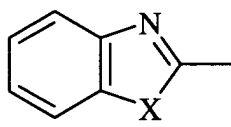
where  $Z^{100}$  is selected from the group consisting of benzo[b]thiophene, furanyl and thiophene.

71. (Original) A compound according to Claim 9C, wherein  $R_a$  is alkoxy; A is -NH-C(O)-; and there is a covalent bond between A and  $Z^{100}$ .
72. (Original) A compound according to Claims 1, 8 or 9, wherein



$R_1$  is

A is selected from the group consisting of -N(R)-C(O)-N(R)-, -(CH<sub>2</sub>)<sub>n</sub>-N(R)C(O)N(R)-, -N(R)- and -N(R)-SO<sub>2</sub>-; R is hydrogen or alkyl;

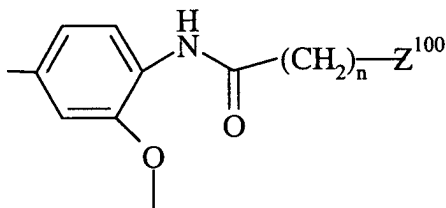
$Z^{100}$  is , , , pyridinyl, thiazolyl, furanyl, benzofuranyl or oxazolyl;

X is S, O or NR where R for each occurrence is independently H or Me;

$R_a$  is one or more substituents each independently selected from the group consisting of H and F; and

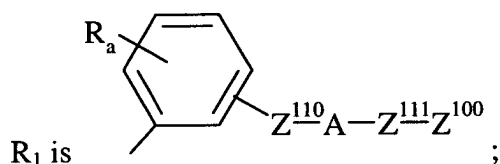
$R_b$  is one or more substituents each independently selected from the group consisting of H, F, Cl, Br, NO<sub>2</sub>, CF<sub>3</sub>, alkyl, alkoxy and alkoxycarbonyl.

73. (Original) A compound according to Claim 72, wherein  $R_4$  is methyl; m is 1, 2 or 3;  $R_5$  is Y-Z, where Y is -C(O)O-, -C(O)- or -C(O)-(CH<sub>2</sub>)<sub>p</sub>-; and Z is aminoalkyl, N-alkylamino, N,N-dialkylamino or hydroxyalkylaminoalkyl.
74. (Original) A compound according to Claim 9, wherein  $R_4$  is methyl;  $R_1$  is



where  $n$  is 0 to 3;  $Z^{100}$  is an optionally substituted group selected from the group consisting of indolyl, indenyl, methylindenyl, methylindolyl, dimethylaminophenyl, phenyl, cyclohexyl and benzofuranyl.

75. (Currently Amended) A compound according to claim 9, wherein



$Z^{100}$  is an optionally substituted group selected from the group consisting of phenyl, imidazolyl, indolyl, furanyl, benzofuranyl and 2,3-dihydrobenzofuranyl;

where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, CN, optionally substituted alkyl, -O-(optionally substituted alkyl), -COOH,  $-Z^{105}$ -

$C(O)N(R)_2$ ,  $-Z^{105}-N(R)-C(O)-Z^{200}$ ,  $-Z^{105}-N(R)-S(O)_2-Z^{200}$ , and  $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$ ;

$Z^{105}$  is a covalent bond or  $((C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation);

$Z^{200}$  is an optionally substituted group selected from group consisting of  $((C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation), phenyl and  $-((C_4-C_6)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-phenyl;

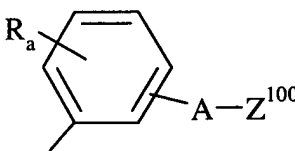
$Z^{110}$  and  $Z^{111}$  are each independently a covalent bond or  $(C_1-C_3)$  straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains

one or more units of unsaturation group optionally substituted with alkyl, hydroxy, COOH, CN or phenyl; and

A is O, -N(R)-C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)- or -N(R)-C(O)-, where R is H or alkyl.

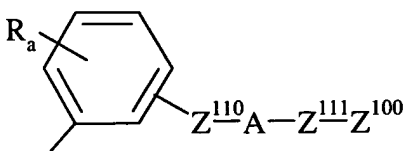
76. (Original) A compound according to Claim 75, wherein  $R_4$  is methyl.

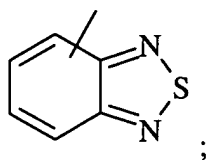
77. (Original) A compound according to Claim 8, 9 or 10, wherein

$R_1$  is  where  $Z^{100}$  is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

78. (Original) A compound according to Claim 77, wherein  $R_4$  is methyl; A is -NH-; there is only one  $R_a$  and it is H or F; and  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of alkyl, halo,  $CF_3$ , and alkoxy.

79. (Original) A compound according to Claim 9, wherein

$R_1$  is  ;  
 $Z^{100}$  is an optionally substituted group selected from the group consisting of phenyl, pyrrolyl, pyridyl, benzimidazolyl, naphthyl and



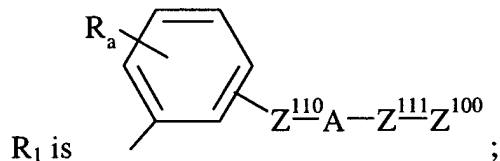
where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, Br,  $NO_2$ , amino, N-alkylamino, N,N-dialkylamino, CN, optionally substituted alkyl, -O-(optionally substituted alkyl) and phenyl;

$Z^{110}$  and  $Z^{111}$  for each occurrence is independently ( $C_0$ - $C_3$ ) optionally substituted with optionally substituted phenyl; and

A is -N(R)-C(O)-N(R)-, -N(R)-S(O)<sub>2</sub>-, -N(R)-C(O)-, -N(R)- or -N(R)-C(O)-O-.

80. (Original) A compound according to Claim 79, wherein  $R_4$  is methyl and there is only one  $R_a$  and it is F.

81. (Original) A compound according to Claim 9 or 66, wherein



$Z^{100}$  is an optionally substituted group selected from the group consisting of phenyl, isoxazolyl, tetrahydronaphthyl, furanyl, benzofuranyl, pyridyl and indolyl;

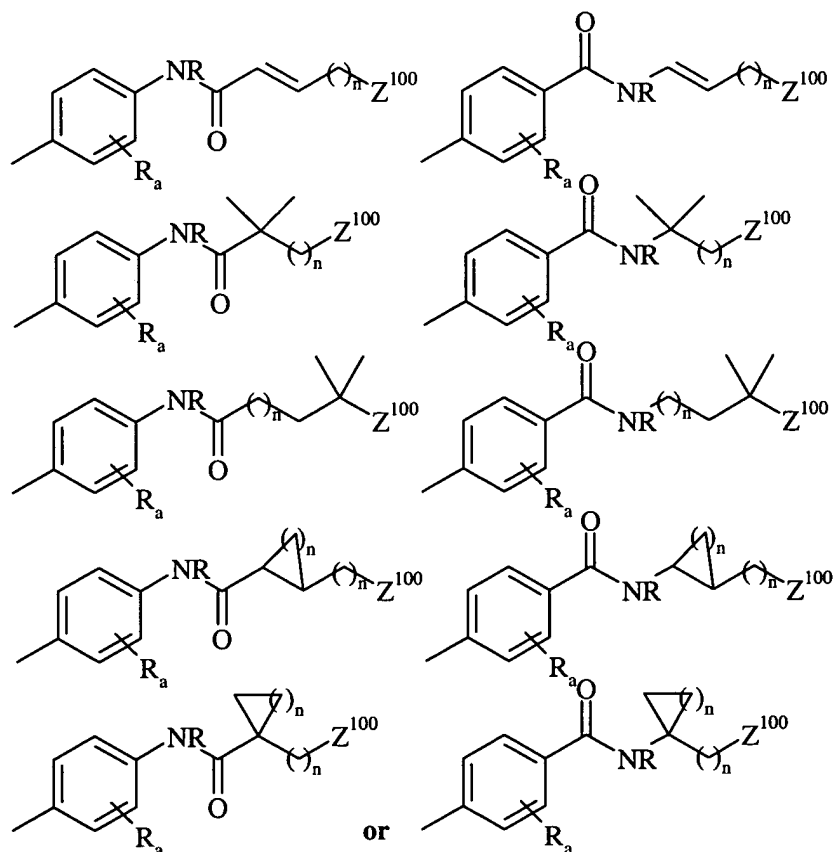
where  $Z^{100}$  is optionally substituted with one or more substituents each independently selected from the group consisting of F, CN,  $\text{NO}_2$ ,  $-\text{C}(\text{O})\text{H}$ ,  $-\text{CONH}_2$ ,  $-\text{NHSO}_2\text{CF}_3$ , optionally substituted alkyl, optionally substituted heteroaryl and  $-\text{O}-(\text{optionally substituted alkyl})$ ;

$Z^{110}$  and  $Z^{111}$  are each independently optionally substituted ( $\text{C}_0\text{-C}_3$ ); and

A is O,  $-\text{N}(\text{R})-\text{C}(\text{O})-(\text{CH}_2)_n-\text{N}(\text{R})-$ ,  $-\text{C}(\text{O})-\text{N}(\text{R})-$ ,  $-\text{N}(\text{R})-\text{C}(\text{O})-\text{O}-$ ,  $-\text{N}(\text{R})-\text{C}(\text{O})-$  or  $-\text{N}(\text{R})-$ .

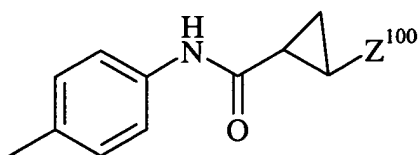
82. (Original) A compound according to Claim 81, wherein  $R_4$  is methyl;  $R_a$  is H or methoxy; and  $Z^{110}$  and  $Z^{111}$  are each unsubstituted.

83. (Original) A compound according to Claim 9, wherein  $R_1$  is

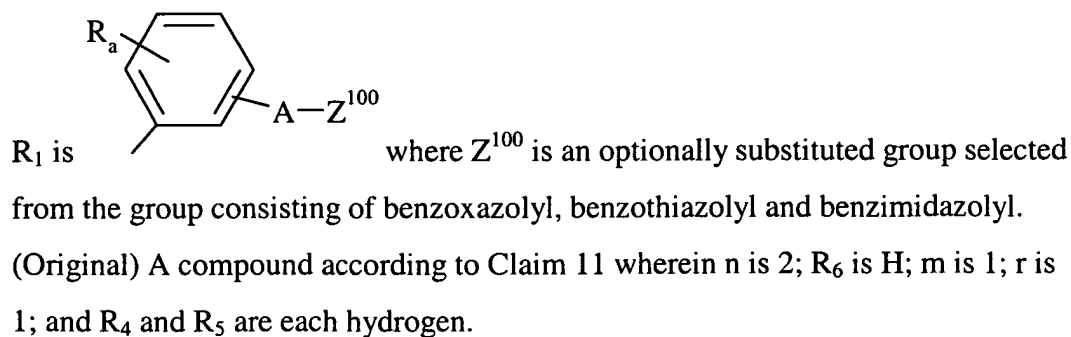


where R is H or lower alkyl and n is for each occurrence is independently 1 to 6.

84. (Original) A compound according to Claim 83, wherein  $R_1$  is



85. (Original) A compound according to Claim 84, wherein  $Z^{100}$  is substituted or unsubstituted phenyl.
86. (Original) A compound according to Claim 8, 9 or 10, wherein



88. (Original) A compound according to claim 64 or 87 wherein R<sub>1</sub> is 4-phenoxyphenyl.